

METHODS OF ATTRACTING AND COMBATTING INSECTS**CROSS-REFERENCE TO RELATED APPLICATIONS**

5 This application is a continuation-in-part of United States Patent Application
(na) U.S. 5,214,182
No. 08/419,609, filed April 10, 1995, and a continuation-in-part of International
Patent Application No. PCT/EP96/01334, filed March 27, 1996 and designating the
United States. Both of said earlier applications are incorporated by reference herein
in their entireties and relied upon.

10 **BACKGROUND OF THE INVENTION**

1. Field of the Invention

The invention relates to methods of attracting and combatting insects at a locus
at which a crop is growing, especially a plantation crop, or at a locus where the
15 presence of insects is undesirable for public health reasons.

2. Description of the Related Art

Many insecticidally active compounds are known, such as the insecticidal
pyrazoles described in International Patent Publications No. WO 87/03781,
20 WO 93/06089 and WO 94/21606, as well as in European Patent Publications
No. 0295117, 0403300, 0385809, 0500209 and 0679650, German Patent Publication
No. 19511269 and United States Patents No. 5,232,940, 5,236,938 and 5,306,694, all
of which are incorporated by reference herein in their entireties and relied upon, in
particular for their descriptions of compounds of formulas (I) and (Ia) set forth
25 hereinafter, generally and specifically, and for their descriptions of processes for the
preparation and insecticidal use of such compounds.

A particular problem connected with the control of nuisance insects, especially
the insects which are found to inhabit private or public housing or buildings, is that it
is difficult to reach and treat all of the insects and it is most desirable to have a
30 method to eliminate the population of insects, especially those insects which are not
accessible to the treatment or have remained untreated for any reason.

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An additional obstacle in eliminating or reducing a population of nuisance insects is that said insects are often able to detect the presence of insecticidally active ingredients, said ingredients thus acting as a repellent or anti-feeding agent for the insects.

5 Up until now, a common method for controlling a large population of insects, especially those inaccessible to direct treatment, is to utilize a program of multiple treatments or multiple placement of baits containing insecticidally active ingredients, or to associate attractants with insecticidally active ingredients.

10 **OBJECTS AND SUMMARY OF THE INVENTION**

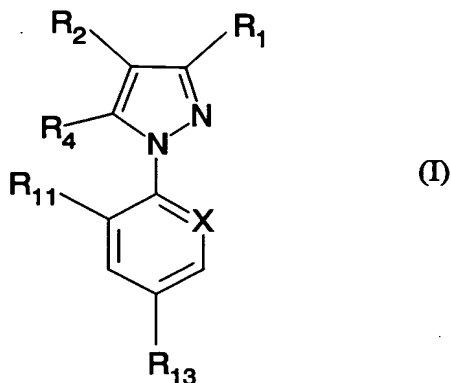
An object of the instant invention is to provide a simplified and efficient method of controlling or combatting insects.

15 Another object of the instant invention is to provide a simplified and efficient method of controlling or combatting insects whereby an attractive ingredient, that is, an attractant, is presented to the insects.

20 An especially advantageous object of the instant invention is to provide a simplified and efficient method of controlling or combatting insects whereby an attractant is presented to the insects, said attractant being simultaneously insecticidally active.

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The present invention thus provides a new use, as an attractant for insects, of a compound having the formula:



wherein:

R_1 is CN or methyl;

R_2 is $S(O)_nR_3$;

R_3 is alkyl or haloalkyl;

R_4 is hydrogen, halogen, $-NR_5R_6$, $-S(O)_mR_7$, alkyl, haloalkyl, $-OR_8$ or $-N=C(R_9)(R_{10})$;

each of R_5 and R_6 , which are the same or different, is hydrogen, alkyl, haloalkyl, $-C(O)alkyl$ or $-S(O)_rCF_3$; or R_5 and R_6 together a divalent lower alkylene radical which is optionally interrupted by one or more heteroatoms (O, S or N);

R_7 is alkyl or haloalkyl;

R_8 is alkyl, haloalkyl or hydrogen;

R_9 is hydrogen or alkyl;

R_{10} is phenyl or heteroaryl, each of which is unsubstituted or is substituted with one or more substituents selected from the group consisting of hydroxy, halogen, $-O-alkyl$, $-S-alkyl$, cyano and alkyl;

each of R_{11} and R_{12} , which are the same or different, is halogen or hydrogen;

R_{13} is halogen, haloalkyl, haloalkoxy, $-S(O)_qCF_3$ or $-SF_5$;

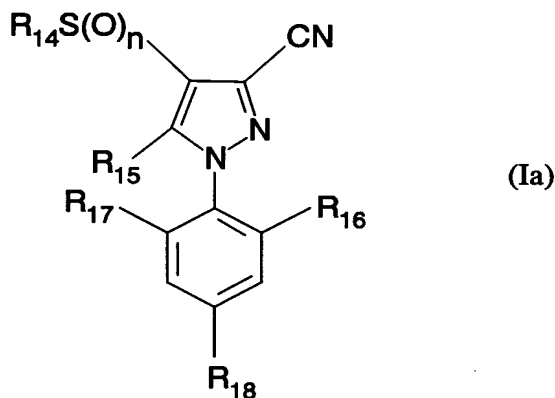
each of m, n, q and r, which are the same or different, is 0, 1 or 2; and

X is nitrogen or $C-R_{12}$;

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provided that when R_1 is methyl, R_3 is haloalkyl, R_4 is NH_2 , R_{11} is Cl, R_{13} is CF_3 and X is N.

In one particular aspect, the present invention provides a new use, as an attractant for insects, of a compound having the formula:



DETAILED DESCRIPTION OF THE INVENTION

In the present description, the following definitions are applicable:

5 The alkyl radicals and the alkyl portions of other radicals (*e.g.* the haloalkyl, haloalkoxy, alkylamino and dialkylamino radicals) can have up to six carbon atoms but are preferably lower alkyl, that is to say, they preferably each have one to four carbon atoms. In the case of the dialkylamino radicals, the alkyl portions can be the same or different. The alkyl radicals and alkyl portions of other radicals can be straight- or branched-chain. The halogen atoms can be fluorine, chlorine, bromine or
10 iodine, preferably fluorine or chlorine.

When R_5 and R_6 in formula (I) together form a divalent lower alkylene (C_3-C_7) radical optionally interrupted by one or more heteroatoms, $-NR_5R_6$ preferably represents piperidino, piperazinyl, morpholino, thiomorpholino, pyrrolidino or hexamethyleneimino, each of which is optionally substituted with one or more
15 lower alkyl groups.

When R_{10} in formula (I) is heteroaryl, it is preferably pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl, thiazolyl, benzothienyl, benzofuryl, quinolyl, isoquinolyl, benzothiazolyl or methylenedioxyphenyl, each of which is optionally substituted as indicated with the definition of R_{10} hereinabove.

20 A preferred group of compounds of formula (I) for use herein are those in which:

R_1 is CN; and/or

R_3 is haloalkyl; and/or

R_4 is NH_2 ; and/or

25 each of R_{11} and R_{12} , which are the same or different, is halogen; and/or R_{13} is haloalkyl.

Preferred compounds of formula (Ia) for use in accord with the present invention are compounds in which each of R_{16} and R_{17} is a halogen atom, R_{18} is a haloalkyl radical, R_{14} is a lower haloalkyl radical and R_{15} is an amino radical.

30 Especially preferred for use in accord with the present invention is the insecticide known as fipronil, whose chemical name is 5-amino-3-cyano-1-(2,6-

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dichloro-4-trifluoromethyl)phenyl-4-trifluoromethylsulfinylpyrazole, and which is specifically described in the aforementioned EP 0295117 and Hatton et al U.S. Patent No. 5,232,940.

5 The preparation of compounds of formula (I), such as the compounds of formula (Ia), for use herein can proceed according to any process described in the hereinabove-cited patent documents, or other process within the knowledge of one skilled in the art of chemical synthesis.

10 According to a further aspect of the invention, there is provided a method for controlling a population of insects, especially insects able to walk or travel in public or private housing or building or household or home, that is, insects which are able to enter or inhabit buildings, whereby an attractant and insecticidally effective amount of a compound of formula (I) as defined above, such as a compound of formula (Ia), is offered or presented to the insects to be controlled as food among alternative food or foods, which can be closely situated.

15 The method of the invention is especially advantageous because it provides more possibilities and much more freedom for placement of the insecticidally active ingredient. Because of its attractant properties, the insecticidally active ingredient can be located in any place, not only at the specifically appropriate place where the insects are to travel and feed.

20 In a preferred embodiment of the present invention, there is provided a method for controlling a population of insects at a locus which is in or near a food storage, preparation, serving or eating area, said method comprising offering to said insects as an alternative food source an amount of a compound of formula (I) as defined above, such as a compound of formula (Ia), which is effective both as an attractant and as an
25 insecticide. Thus, an effective attractant and insecticidal amount of a compound of formula (I), such as a compound of formula (Ia), is preferably offered to the insects in or near an area in which other food is present as a practical consequence of the normal use of the building or housing.

30 The active ingredient of formula (I)/(Ia) is preferably used in accord with the present invention in the form of a bait, which can be a solid, liquid or gel bait. The manner of preparation of a bait will be apparent to one of ordinary skill in the art.

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Baits have already been described in the patent documents cited hereinabove. It is of course not necessary to add an attractant to the active ingredient of formula (I)/(Ia) and the carrier or diluent to form the bait, since the compound of formula (I)/(Ia) acts herein as an attractant as well as an insecticide.

5 The method of the invention is particularly appropriate as a method for the control of populations of insects like cockroaches, ants or the like, especially those belonging to the families *Blatidae* and *Formacidae*. Treatment of cockroaches in an area in which their presence can be detrimental to public health, that is to say in housing or buildings, is a preferred feature of the instant invention, especially for the control of so-called American cockroaches (*Periplaneta americana*), but also of other cockroaches such as German cockroaches (*Blatella germanica*).

10 The attractant compositions or baits which can be used in the practice of the present invention can be offered or presented to the insects in various amounts. Usually, however, it is advantageous to offer these attractant compositions or baits comprising the compound of formula (I)/(Ia) in an appropriate form and in an amount of from about 0.00001 g to about 20 g of active ingredient of formula (I)/(Ia) per 100 square meters, preferably of from about 0.001 g to about 1 g per 100 m².

15 The attractant compositions which are useful in the present invention generally comprise from about 0.0001 to about 15 % w/w of active ingredient of formula (I)/(Ia), preferably from about 0.01 to about 6 % w/w. These compositions can be in the form of a solid, *e.g.* dusts or granules or wettable powders, or in the form of a liquid, such as an emulsifiable concentrate or a true solution.

20 The attractant compositions can also contain any compatible surface-active agent and/or carrier, preferably selected from ingredients which can be eaten by insects. The carrier itself can be solid or liquid.

25 The compounds of formula (I)/(Ia) can be used in sequence or admixture, particularly in admixtures with another pesticide, for example, an insecticide, acaricide or fungicide.

30 The attractant compositions can be prepared by simply admixing the ingredients.

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The invention is illustrated by the following examples which should not be considered as limiting or restricting the invention.

EXAMPLES

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On a large circle situated on a 1 square meter confinement, various foodstuffs and two baits of fipronil were distributed around the perimeter of a circle of 75 cm diameter. Similar pieces of baits were placed at diametrically opposed points on the circle.

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Adults cockroaches (25 males and 25 females) were released and offered harborage 24 hours prior to the start of the experiment. All testing was conducted at night under infrared illumination. Three replicates were conducted for each species. Observations began one hour after lighting in the laboratory went off. The number of foraging cockroaches at each location was recorded at 10 minute intervals for a period of 120 minutes.

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Example 1

Only fipronil was used as an insecticide.

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The alternative foods were: 2 pieces of rodent chow, 2 pieces of rodent jelly and 2 vials of water.

The numbers of foraging German cockroaches for up to 3 hours of foraging time were measured and cumulatively added.

62 cockroaches went to fipronil, 43 to chow, 25 to jelly and 22 to water.

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Example 2

Only fipronil was used as an insecticide.

The alternative foods were: 2 pieces of rodent chow, 2 vials of oil and 2 vials of water.

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The numbers of foraging German cockroaches for up to 3 hours of foraging time were measured and cumulatively added.

68 cockroaches went to fipronil, 25 to chow, 23 to oil and 14 to water.

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Example 3

One insecticidal bait comprised fipronil and one comprised hydramethylnon.

The alternative foods were : 2 pieces of rodent chow, 2 pieces of rodent jelly, 2 vials of water and 1 piece of hydramethylnon.

5 The numbers of foraging American cockroaches for up to 3 hours of foraging time were measured and cumulatively added.

35 cockroaches went to fipronil (substantially less to the other insecticide), 17 to chow, 15 to jelly and 18 to water.

10 While the invention has been described in terms of various preferred embodiments, the skilled artisan will appreciate that various modifications, substitutions, omissions and changes can be made without departing from the spirit thereof. Accordingly, it is intended that the scope of the present invention be limited solely by the scope of the following claims, including equivalents thereof.

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